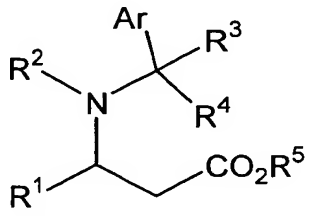
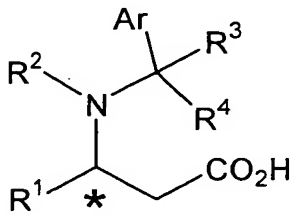
Claims

1. A process for preparing an optically active β-amino acid and an optically active β-amino acid ester or N-substituted 2-homopipecolic acid and an optically active N-substituted 2-homopipecolic acid ester which comprises selectively hydrolyzing an enantiomer of racemic mixture of an N-substituted β-amino acid alkyl ester or an N-substituted 2-homopipecolic acid ester represented by the formula (I):



(I)

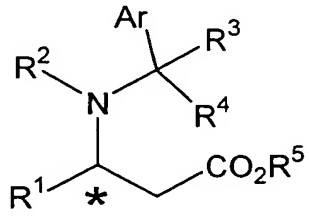
wherein Ar represents a substituted or unsubstituted aryl group, R^1 represents a substituted or unsubstituted alkyl group, alkenyl group, a substituted or unsubstituted aralkyl group or a substituted or unsubstituted aryl group, R^2 represents a hydrogen atom, R^3 and R^4 each independently represent a hydrogen atom, a substituted or unsubstituted alkyl group or a substituted or unsubstituted aryl group, R^5 represents a substituted or unsubstituted alkyl group, also, R^1 and R^2 may be bonded to form a ring, in the presence of a hydrolase to form an optically active ((R) or (S))-N-substituted-β-amino acid or an optically active ((R) or (S))-N-substituted-2-homopipecolic acid represented by the formula (II):



(II)

wherein Ar, R^1, R^2, R^3 and R^4 have the same meanings as defined above,

and simultaneously to obtain an unreacted optically active ((S) or (R))-N-substituted β-amino acid alkyl ester or an unreacted optically active ((S) or (R))-N-substituted 2-homopipecolic acid ester represented by the formula (III):



(III)

wherein Ar, R^1, R^2, R^3, R^4 and R^5 have the same meanings as defined above,

provided that it has a reverse steric absolute configuration to that of the compound represented by the formula (II).

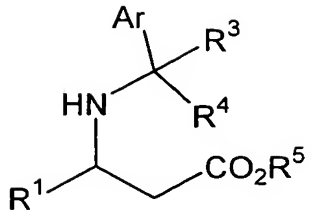
2. The preparation process according to Claim 1, wherein the hydrolase is a protease, an esterase or a lipase.

3. The preparation process according to Claim 1 or 2, wherein the hydrolase is a lipase originated from *Candida antarctica*.

4. The preparation process according to Claim 1, wherein the hydrolysis is carried out in an aqueous solvent, in a buffer solvent, in a 2-phase solvent of an organic solvent and water, or in a 2-phase solvent of an organic solvent and a buffer.

5. The preparation process according to Claim 4, wherein the organic solvent is an aliphatic hydrocarbon, an aromatic hydrocarbon or an ether, or a mixed solvent thereof.

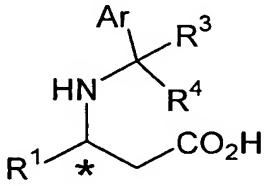
6. The preparation process according to Claim 1, wherein the compound represented by the formula (I) is a N-substituted β-amino acid alkyl ester represented by the following formula (I-a):



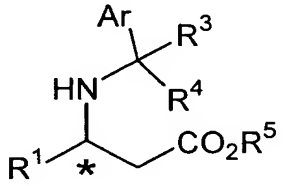
(I-a)

wherein Ar, R^1, R^2, R^3, R^4 and R^5 have the same meanings as defined above,

and the compounds represented by the formula (II) and the formula (III) are an optically active ((R) or (S))-N-substituted β-amino acid and an optically active ((S) or (R))-N-substituted β-amino acid alkyl ester represented by the following formulae (II-a) and (III-a):



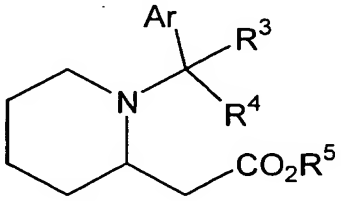
(II-a)



(III-a)

wherein Ar, R^1, R^3, R^4 and R^5 have the same meanings as defined above.

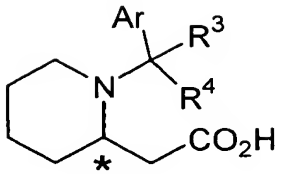
7. The preparation process according to Claim 1, wherein the compound represented by the formula (I) is an N-substituted 2-homopipecolic acid ester represented by the following formula (I-b):



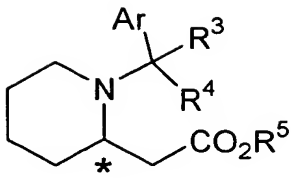
(I-b)

wherein Ar, R^3, R^4 and R^5 have the same meanings as defined above,

and the compounds represented by the formula (II) and the formula (III) are an optically active ((R) or (S))-N-substituted 2-homopipecolic acid and an optically active ((S) or (R))-N-substituted 2-homopipecolic acid ester represented by the following formulae (II-b) and (III-b):



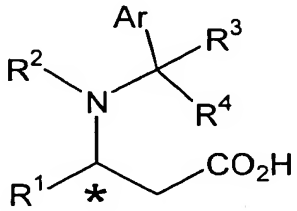
(II-b)



(III-b)

wherein Ar, R^3, R^4 and R^5 have the same meanings as defined above.

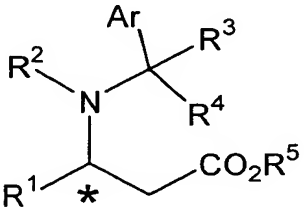
8. The preparation process according to Claim 1, wherein each of the optically active ((R) or (S))-N-substituted β-amino acid or the optically active ((R) or (S))-N-substituted 2-homopipecolic acid represented by the formula (II):



(II)

wherein Ar, R^1, R^2, R^3 and R^4 have the same meanings as defined above,

and the unreacted optically active ((S) or (R))-N-substituted β-amino acid alkyl ester or the unreacted optically active ((S) or (R))-N-substituted 2-homopipecolic acid ester represented by the formula (III):



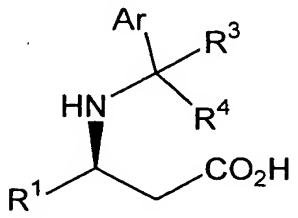
(III)

wherein Ar, R^1, R^2, R^3, R^4 and R^5 have the same meanings as defined above,

provided that it has a reverse steric absolute configuration to that of the compound represented by the formula (II), formed by hydrolysis reaction, is isolated from the mixture thereof.

9. The preparation process according to Claim 7, wherein the optically active ((R) or (S))-N-substituted β-amino

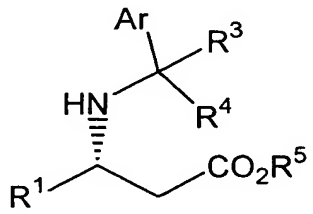
acid represented by the formula (II-a) is optically active N-substituted β-amino acid represented by the formula (IV-a):



(IV-a)

wherein Ar, $R^3$and R^4 have the same meanings as defined above,

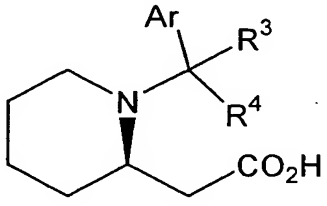
and the unreacted optically active ((S) or (R))-N-substituted 2-β-amino acid ester is an optically active N-substituted β-amino acid ester represented by the formula (V-a):



(V-a)

wherein Ar, R^3, R^4 and R^5 have the same meanings as defined above.

10. The preparation process according to Claim 7, wherein the optically active ((R) or (S))-N-substituted 2-homopipecolic acid represented by the formula (II-b) is an optically active (R)-N-substituted 2-homopipecolic acid represented by the formula (IV-b):

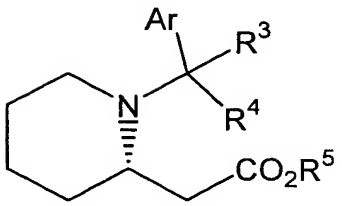


(IV-b)

wherein Ar, R^3 and R^4 have the same meanings as defined above,

and the unreacted optically active ((S) or (R))-N-substituted 2-homopipecolic acid ester represented by the formula (III-b) is an optically active (S)-N-substituted 2-homo-

pipecolic acid ester represented by the formula (V-b):



(V-b)

wherein Ar, R^3, R^4 and R^5 have the same meanings as defined above.